

優先権主張

出願国 ドイツ国

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特 許 願 (A)

昭和48年8月28日



特許庁長官 青嶋 英雄 殿

1. 発明の名称 4-ヒドロキシ-3-ニトロ安息香酸

アルキルエステルの製法

2. 発明者

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(ほか1名)

明 細 書

1. 発明の名称 4-ヒドロキシ-3-ニトロ安息香酸アルキルエステルの製法

2. 特許請求の範囲

ニトロ化を約0~60℃、特に約20~30℃で30~62%の硝酸を用いて行なうことを特徴とする4-ヒドロキシ安息香酸アルキルエステルを硝酸でニトロ化することによる4-ヒドロキシ-3-ニトロ安息香酸アルキルエステルの製法。

3. 発明の詳細な説明

本発明は4-ヒドロキシ安息香酸アルキルエステルを硝酸でニトロ化することにより4-ヒドロキシ-3-ニトロ安息香酸アルキルエステルを製造する改良法に関するものである。

4-ヒドロキシ安息香酸アルキルエステルを希釈した、約10%の硝酸で高められた温度、例えば水浴温度で処理することにより4-ヒドロキシ-3-ニトロ安息香酸アルキルエステルが得られることは公知である(Berichte der

①日本国特許庁

公開特許公報

①特開昭 49-56944

④公開日 昭49.(1974) 6. 3

②特願昭 48-85806

②出願日 昭48.(1973) 8.28

審査請求 未請求 (全2頁)

庁内整理番号

⑤日本分類

6754 43

16 C624

Deutschen Chemischen Gesellschaft 50.991
(1897) 及び Journal für praktische Chemie
[2]43.453(1891) 参照)。

しかしこの方法によれば汚れた4-ヒドロキシ-3-ニトロ安息香酸エステルが得られ、これは純度で精製に付さなければならない。

4-ヒドロキシ安息香酸メチルエステルを濃硝酸を用いて氷酢酸中で、45℃で処理することにより、収率68%で同様に4-ヒドロキシ-3-ニトロ安息香酸メチルエステルが得られる(米国特許第2,647,053号参照)。しかしこの方法は収率、純度に関して満足的ではない。更にこの方法を工業的に実施する場合、氷酢酸中の操作は再生と高水精製の間に重大な問題をもたらす。

本発明者はニトロ化を約0~60℃、特に約20~30℃で30~62%の硝酸を用いて行つた場合、4-ヒドロキシ安息香酸アルキルエステルを硝酸でニトロ化することにより4-ヒドロキシ-3-ニトロ安息香酸アルキルエス

ルが簡単な方法で且つ卓越した収率で得られることをみいだした。

この方法は4-ヒドロキシ安息香酸アルキルエステルを、場合により揮発物として、約20～25℃で均等に濃硝酸に加え、外部冷却により温度を約20～60℃、特に約20～30℃に保持するようにして実施するのが有利である。1時間攪拌した後水で稀釈し、吸引濾過し、中性洗浄し、乾燥する。

本発明による方法——これは特に低級アルキルエステル、例えば4-ヒドロキシ安息香酸メチル-、エチル-、プロピル-又はブチルエステルを製造するために適している——によれば著しい収率の改良並びに純粋な生成物が得られ、この生成物は出発エステル及び公知の方法の際に副生成物として例外なく生じる夾雑物、例えばジニトロ-オキシ安息香酸エステル、及びケン化及び脱カルボキシル化により生じるニトロフェノール類或はポリニトロフェノール類を含まない。それ故に該生成物は直接他の反応

のために使用することができる。例えば予め精製を行う必要なく4-ヒドロキシ-3-アミノ安息香酸エステルへの接触的水素添加に付することができる。

本発明の方法によれば有機溶剤の使用なしに並びに他の精製操作なしに4-ヒドロキシ-3-ニトロ安息香酸アルキルエステルを簡単に安価に製造することができ、従つて本法は技術の著しい豊富化を生ずるものである。下記の例はこれを説明するものである。

例1

濃潤した有効成分82.7% (4-ヒドロキシ安息香酸をジメチルサルファートで、pH 5でエステル化することにより製造した) の4-ヒドロキシ安息香酸メチルエステル183.8重量部を攪拌下に20～25℃で1時間の経過において62.5%硝酸50.4重量部中に均等に加える。続いて約1時間攪拌し、水500重量部を添加することによりエステルを沈殿させ、吸引濾過し、水で中性洗浄し60℃で乾燥させる。かく

して有効成分>99%及び融点73℃の4-ヒドロキシ-3-ニトロ安息香酸メチルエステル177.5重量部(90%)が得られた。

下記の例は例1に記載の如く実施した。

例	硝酸の濃度	温度	4-ヒドロキシ-3-ニトロ安息香酸エステル	収率	融点
2	48%	40℃	メチルエステル	91%	75℃
3	50%	25℃	イソプロピル-エステル	89%	90℃
4	62%	15℃	n-ブチルエステル	93%	液状
5	35%	45℃	イソプロピルエステル	84%	91℃
6	50%	30℃	エチルエステル	98%	67℃
7	62%	20℃	エチルエステル	90%	68℃
8	62%	10℃	メチルエステル	92%	75℃
9	50%	25℃	メチルエステル	89%	74℃
10	50%	50℃	メチルエステル	87%	72℃

5.添附書類の目録

明細書	1通
図面	1通
委任状	1通
優先権証明書	1通
願書副本	1通

6.前記以外の代理人

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(電話 03 (502) 1-476 (代表))
氏名 弁理士 (6955) 江崎光史

代理人 江崎光
代理人 江崎光





中华人民共和国国家知识产权局

邮政编码: 100032

北京市西城区金融街 27 号投资广场 B 座 19 层

中国专利代理(香港)有限公司

关立新, 邹雪梅

发文日期



申请号: 2004800174277



申请人: 株式会社上野制药应用研究所

发明创造名称: 制备 3-硝基-4-烷氧基苯甲酸的方法

第一次审查意见通知书

(进入国家阶段的 PCT 申请)

0563823P

1. ☒ 应申请人提出的实审请求, 根据专利法第 35 条第 1 款的规定, 国家知识产权局对上述发明专利申请进行实质审查。

☐ 根据专利法第 35 条第 2 款的规定, 国家知识产权局专利局决定自行对上述发明专利申请进行审查。

2. ☒ 申请人要求以在:

JP 专利局的申请日 2003 年 05 月 02 日为优先权日,

专利局的申请日 年 月 日为优先权日,

专利局的申请日 年 月 日为优先权日。

3. ☐ 申请人于 年 月 日提交的修改文件, 不符合专利法实施细则第 51 条的规定。

☐ 申请人提交的下列修改文件不符合专利法第 33 条的规定。

☐ 国际初步审查报告附件的中文译文。

☐ 依据专利合作条约第 19 条规定所提交的修改文件的中文译文。

☐ 依据专利合作条约第 28 条或 41 条规定所提交的修改文件。

☐

4. ☒ 审查是针对原始提交的国际申请的中文译文进行的。

☐ 审查是针对下述申请文件进行的:

☐ 说明书 第 页, 按照原始提交的国际申请文件的中文译文;

第 页, 按照国际初步审查报告附件的中文译文;

第 页, 按照依据专利合作条约第 28 条或 41 条规定所提交的修改文件;

第 页, 按照依据专利法实施细则第 51 条规定所提交的修改文件。

☐

☐ 权利要求 第 项, 按照原始提交的国际申请文件的中文译文;

第 项, 按照依据专利合作条约第 19 条规定所提交的修改文件的中文译文。

第 项, 按照国际初步审查报告附件的中文译文;

第 项, 按照依据专利合作条约第 28 条或 41 条所提交的修改文件;

第 项, 按照依据专利法实施细则第 51 条规定所提交的修改文件。

☐

☐ 附图 第 页, 按照原始提交的国际申请文件的中文译文;

第 页, 按照国际初步审查报告附件的中文译文;

第 页, 按照依据专利合作条约第 28 条或 41 条所提交的修改文件;

第 页, 按照依据专利法实施细则第 51 条规定所提交的修改文件。

13 MAY 2007

21302

2002. 8



回函请寄: 100088 北京市海淀区蓟门桥西土城路 6 号 国家知识产权局专利局
(注: 凡寄给审查员个人的信函不具有法律效力)



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☐

☒ 本通知书引用下述对比文献(其编号在今后的审查过程中继续沿用):

编号	文件号或名称	公开日期 (或抵触申请的申请日)
1	catalysis letters, vol. 21, p. 157-163	1993
2	US3929864 A	1975. 12. 30

5. 审查的结论性意见:

☒ 关于说明书:

- ☐ 申请的内容属于专利法第 5 条规定的不授予专利权的范围。
- ☐ 说明书不符合专利法第 26 条第 3 款的规定。
- ☐ 说明书不符合专利法第 33 条的规定。
- ☒ 说明书的撰写不符合专利法实施细则第 18 条的规定。

☒ 关于权利要求书:

- ☐ 权利要求 不具备专利法第 22 条第 2 款规定的新颖性。
- ☒ 权利要求 1-5 不具备专利法第 22 条第 3 款规定的创造性。
- ☐ 权利要求 不具备专利法第 22 条第 4 款规定的实用性。
- ☐ 权利要求 属于专利法第 25 条规定的不授予专利权的范围。
- ☐ 权利要求 不符合专利法第 26 条第 4 款的规定。
- ☐ 权利要求 不符合专利法第 31 条第 1 款的规定。
- ☐ 权利要求 不符合专利法第 33 条的规定。
- ☐ 权利要求 不符合专利法实施细则第 13 条第 1 款的规定。
- ☐ 权利要求 不符合专利法实施细则第 2 条第 1 款关于发明的定义。
- ☐ 权利要求 不符合专利法实施细则第 20 条的规定。
- ☐ 权利要求 不符合专利法实施细则第 21 条的规定。
- ☐ 权利要求 不符合专利法实施细则第 22 条的规定。
- ☐ 权利要求 不符合专利法实施细则第 23 条的规定。

上述结论性意见的具体分析见本通知书的正文部分。

6. 基于上述结论性意见, 审查员认为:

- ☐ 申请人应按照通知书正文部分提出的要求, 对申请文件进行修改。
- ☐ 申请人应在意见陈述书中论述其专利申请可以被授予专利权的理由, 并对通知书正文部分中指出的不符合规定之处进行修改, 否则将不能授予专利权。
- ☒ 专利申请中没有可以被授予专利权的实质性内容, 如果申请人没有陈述理由或者陈述理由不充分, 其申请将被驳回。

7. 申请人应注意下述事项:

- (1) 根据专利法第 37 条的规定, 申请人应在收到本通知书之日起的肆个月内陈述意见, 如果申请人无正当理由逾期不答复, 其申请将被视为撤回。
- (2) 申请人对其申请的修改应符合专利法第 33 条的规定, 修改文本应一式两份, 其格式应符合审查指南的有关规定。
- (3) 申请人的意见陈述书和 / 或修改文本应邮寄或递交国家知识产权局专利局受理处, 凡未邮寄或递交给受理处的文件不具备法律效力。
- (4) 未经预约, 申请人和 / 或代理人不得前来国家知识产权局专利局与审查员举行会晤。

8. 本通知书正文部分共有 1 页, 并附有下列附件:

☒ 引用的对比文件的复印件共 1 份 4 页。

☐

审查员: 罗琦 (R106)

2006 年 12 月 11 日

审查部门

化学发明审查部



第一次审查意见通知书正文

申请号：2004800174277

该申请涉及制备3-硝基-4-烷氧基苯甲酸的方法，现提出如下的审查意见。

1. 权利要求1不具备创造性，不符合专利法第22条第3款的规定。对比文件1公开了一种粘土催化的芳香酸的硝基脱羧反应，并具体公开了以下的技术特征“在不存在粘土进行的空白试验中，对茴香酸与发烟硝酸进行硝化反应，结果仅获得了产品3-硝基-4-甲氧基苯甲酸”（参见对比文件1的159页第3-8行，表1）；对比文件2公开了一种4-羟基-3-硝基苯甲酸烷基酯的制备方法，并具体公开了以下的技术特征“将4-羟基苯甲酸烷基酯与硝酸进行反应制备4-羟基-3-硝基苯甲酸烷基酯，其中硝化反应在0-60℃下进行，硝酸浓度为30-62%”（参见对比文件2的权利要求，实施例1-10）。由此可见，该权利要求区别于对比文件1和对比文件2的特征在于“硝酸与4-烷氧基苯甲酸的用量”，这区别并没有给该发明带来意想不到的效果。因为虽然对比文件2的反应物是4-羟基苯甲酸烷基酯，而不是4-烷氧基苯甲酸，但是由于羟基和烷氧基都是邻位定位基，硝化反应时硝基的取代位置相同，另外所属技术领域的普通技术人员经过重复试验便可获得硝酸与4-烷氧基苯甲酸的用量比，因此在对比文件1的启示和教导下，结合对比文件2，得出该权利要求所要求保护的技术方案，对所属技术领域的技术人员来说是显而易见的，而且它们的结合没有产生预料不到的技术效果，因此该权利要求不具备突出的实质性特点和显著的进步，因而不具备创造性。

2. 从属权利要求2-5加入的附加技术特征并没有给该发明带来意想不到的效果，因此在对比文件1的启示和教导下，结合对比文件2，得出上述权利要求所要求保护的技术方案，对所属技术领域的技术人员来说是显而易见的，而且它们的结合没有产生预料不到的技术效果，因此上述权利要求不具备突出的实质性特点和显著的进步，因而不具备创造性。

该申请还存在下述缺陷：

权利要求5中的特征与说明书中的相应描述（说明书第2页第32行~第3页第1行）不一致，因此说明书不符合专利法实施细则第18条第1款（3）的规定。申请人应当对上述缺陷行修改。

基于上述理由，该申请的独立权利要求以及从属权利要求都不具备创造性，同时说明书中也没有记载其他任何可以授予专利权的实质性内容，因而即使申请人对权利要求进行重新组合和/或根据说明书记载的内容作进一步的限定，该申请也不具备被授予专利权的前景。如果申请人不能在本通知书规定的答复期限内提出表明该申请具有创造性的充分理由，该申请将被驳回。

审查员：罗玲

代码：8106

Patent Office of the People's Republic of China

Address : Receiving Section of the Chinese Patent Office, No. 6 Tucheng Road West, Haidian District, Beijing. Postal code: 100088

Applicant	KABUSHIKI KAISHA UENO SEIYAKU OYO KENKYUJO			Seal of Examiner	Date of Issue
Agent	China Patent Agent (H.K.) Ltd.				December 29, 2006
Patent Application No.	200480017427.7	Application Date	April 27, 2004	Exam Dept.	
Title of Invention	PROCESS FOR PRODUCING 3-NITRO-4-ALKOXYBENZOIC ACID				

First Office Action

(PCT application entering into the national phase)

1. ☒ Under the provision of Art. 35, para. 1 of the Patent Law, the examiner has made an examination as to substance of the captioned patent application for invention upon the request for substantive examination filed by the applicant on_____.
- ☐ Under the provision of Art. 35, para. 2 of the Patent Law, the Chinese Patent Office has decided to conduct an examination of the captioned patent application for invention on its own initiative.
2. ☒ The applicant requests that
 - the filing date May 2, 2003 at the JP Patent Office be taken as the priority date of the present application,
 - the filing date _____ at the _____ Patent Office be taken as the priority date of the present application,
 - the filing date _____ at the _____ Patent Office be taken as the priority date of the present application.
3. ☐ The following amended documents submitted by the applicant cannot be accepted for failure to conform with Art. 33 of the Patent Law:
 - ☐ the Chinese version of the annex to the international preliminary examination report.
 - ☐ the Chinese version of the amended documents submitted according to the provision of Art. 19 of the Patent Cooperation Treaty.
 - ☐ the amended documents submitted according to the provision of Art. 28 or Art. 41 of the Patent Cooperation Treaty.

- ☐ the amended documents submitted according to the provision of Rule 51 of the Implementing Regulations of the Patent Law.

See the text portion of this Office Action for detailed reasons why the amendment cannot be accepted.

4. ☒ Examination is conducted on the Chinese version of the initially-submitted international application.

☐ Examination is conducted on the following document(s):

☐ page _____ of the description, based on the Chinese version of the initially-submitted international application documents;

page _____ of the description, based on the Chinese version of the annex to the international preliminary examination report;

page _____ of the description, based on the amended documents submitted according to the provision of Art. 28 or Art. 41 of the Patent Cooperation Treaty;

page _____ of the description, based on the amended documents submitted according to the provision of Rule 51 of the Implementing Regulations of the Patent Law.

☐ claim(s) _____, based on the Chinese version of the initially-submitted international application documents;

claim(s) _____, based on the Chinese version of the amended documents submitted according to the provision of Art. 19 of the Patent Cooperation Treaty;

claim(s) _____, based on the Chinese version of the annex to the international preliminary examination report;

claim(s) _____, based on the amended documents submitted according to the provision of Art. 28 or Art. 41 of the Patent Cooperation Treaty;

claim(s) _____, based on the amended documents submitted according to the provision of Rule 51 of the Implementing Regulations of the Patent Law.

☐ Fig(s) _____, based on the Chinese version of the initially-submitted international application documents;

Fig(s) _____, based on the Chinese version of the annex to the international preliminary examination report;

Fig(s) _____, based on the amended documents submitted according to the provision of Art. 28 or Art. 41 of the Patent Cooperation Treaty;

Fig(s) _____, based on the amended documents submitted according to the provision of Rule 51 of the Implementing Regulations of the Patent Law.

5. ☒ The following reference document(s) is/are cited in this Office Action (its/their serial

number(s) will continue to be used in the subsequent course of examination):

Serial No.	Number or Title(s) of Document(s)	Date of Publication (or filing date of interfering application)
1	Catalysis letters, vol.21,p157-163	1993
2	US3929864A	December 30, 1975

6. Concluding comments on the examination:

☒ On the description:

- ☐ What is stated in the application comes within the scope of that no patent right shall be granted as prescribed in Art. 5 of the Patent Law.
- ☐ The description is not in conformity with the provision of Art. 26, para. 3 of the Patent Law.
- ☐ The description is not in conformity with the provision of Art. 33 of the Patent Law.
- ☒ The drafting of description is not in conformity with the provision of Rule 18 of the Implementing Regulations.

☒ On the claims:

- ☐ Claim(s) _____ come(s) within the scope of that no patent right shall be granted as prescribed in Art. 25 of the Patent Law.
- ☐ Claim(s) _____ has/have no novelty as prescribed in Art. 22, para. 2 of the Patent Law.
- ☒ Claim(s) 1-5 has/have no inventiveness as prescribed in Art. 22, para. 3 of the Patent Law.
- ☐ Claim(s) _____ has/have no practical applicability as prescribed in Art. 22, para. 4 of the Patent Law.
- ☐ Claim(s) _____ is/are not in conformity with the provision of Art. 26, para. 4 of the Patent Law.
- ☐ Claim(s) _____ is/are not in conformity with the provision of Art. 31, para. 1 of the Patent Law.
- ☐ Claim(s) _____ is/are not in conformity with the provisions of Rule 20 of the Implementing Regulations.
- ☐ Claim(s) _____ is/are not in conformity with the provision of Art. 9 of the Patent Law.
- ☐ Claim(s) _____ is/are not in conformity with the provision of Rule 23 of the Implementing Regulations.

See the text portion of this Office Action for detailed analysis of the above concluding comments.

7. Based on the above concluding comments, the examiner deems that

- ☐ the applicant should make amendment to the application document(s) according to the requirements put forward in the text portion of this Office Action.
- ☐ the applicant should expound in his/its observations why the captioned patent application is patentable and make amendment to what is not in conformity with the provisions pointed out in the text portion of this Office Action, otherwise, no patent right shall be granted.
- ☒ the patent application contains no substantive content(s) for which a patent right may be granted, if the applicant has no sufficient reason(s) to state or his/its stated reason(s) is/are not sufficient, said application will be rejected.

8. The applicant should note the following items:

- (1) Under Art. 37 of the Patent Law, the applicant should submit his/its observations within **four** months from the date of receipt of this Office Action; if, without any justified reason(s), the time limit for making written response is not met, said application shall be deemed to have been withdrawn.
- (2) The amendment made by the applicant to said application should be in conformity with the provision of Art. 33 of the Patent Law, the amended text should be in duplicate and its form should conform with the related provisions of the Guide to Examination.
- (3) If no arrangement is made in advance, the applicant and/or the agent shall not come to the Chinese Patent Office to have an interview with the examiner.
- (4) The observations and/or amended text should be sent to the Receiving Section of the Chinese Patent Office by mail or by personal delivery, if not sent to the Receiving Section by mail or by personal delivery, the document(s) will have no legal effect.**

9. This Office Action consists of the text portion totalling 2 page(s) and of the following attachment(s):

- ☒ 1 copy(copies) of the reference document(s) totalling 4 page(s).

Appl No: 200480017427.7
Your Ref: 545728
Our Ref: CPCH0563823P

Text of the First Office Action

This application relates to the process for producing 3-nitro-4-alkoxybenzoic acid. After examination, the examiner makes the following comments.

1. Claim 1 is not inventive, and is thus contrary to the provision of Article 22, para.3 of the Patent Law. D1 discloses a nitro decarboxylic reaction of aromatic acid catalyzed by clay and describes specifically the following technical feature. "In the blank test wherein clay is absent, nitration is performed on anisic acid and fuming nitric acid, and the product 3-nitro-4-methoxybenzoic acid is obtained" (see lines 3-8 on page 159 and Table 1 of D1). D2 discloses a process for preparing 4-hydroxy-3-nitrobenzoic acid alkyl esters, and describes specifically the following technical feature. "Preparing 4-hydroxy-3-nitrobenzoic acid alkyl ester by nitration of 4-hydroxybenzoic acid alkyl esters with nitric acid, wherein nitration is carried out at about 0°-60° with the aid of nitric acid having a strength of 30-62%" (see the claims and Examples 1-10 of D2). It can be seen that claim 1 differs from D1 and D2 in the "amount of nitric acid and 4-alkoxybenzoic acid". However, this difference does not bring this invention any unexpected effects. The reactant of D2 is 4-hydroxybenzoic acid alkyl esters instead of 4-alkoxybenzoic acid, but both hydroxy and alkoxy are ortho orientation groups, and the substitution position of nitro is the same when nitration is performed. In addition, the person skilled in the art can obtain the amount ratio of nitric acid and 4-alkoxybenzoic acid after repeated tests. Therefore, it is obvious for the person skilled in the art to obtain the technical solution of claim 1 on the basis of the motivation and teaching of D1 in combination with D2. Moreover, the combination thereof does not produce any unexpected technical effects. Accordingly, claim 1 has neither prominent substantive features nor notable progress, and is not

inventive.

2. The additional technical features of dependent claims 2-5 do not bring any unexpected effects to this invention. Therefore, it is obvious for the person skilled in the art to obtain the technical solutions of said claims on the basis of the motivation and teaching of D1 in combination with D2. Moreover, the combination thereof does not produce any unexpected technical effects. Accordingly, said claims have neither prominent substantive features nor notable progress, and are not inventive.

This application still has the following defect.

The technical feature of claim 5 is inconsistent with the corresponding disclosure contained in the description (lines 17-21 on page 5 of the description). Therefore, the description is contrary to the provision of Rule 18, para. 1 (3) of the Implementing Regulations of the Patent Law. The applicant should amend said defect.

For the above-mentioned reasons, none of the independent claims and dependent claims of the application has inventiveness. Meanwhile, the description does not disclose any other substantive contents that may be granted patent rights either. Therefore, the application will not have the prospect of being granted patent rights even if the applicant reorganizes and/or further defines the claims according to the contents described in the description. The application will be rejected if the applicant fails to state sufficient reasons to demonstrate the inventiveness of the application within the time limit for response as prescribed in the Office Action.

JXM

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